2-SUBSTITUTED 4,5-DIPHENYLTHIAZOLES AND SYNTHESIS THEREOF

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1490771 4,5-Diphenyl-thiazoles SERONO LABORATORIES Inc 24 Jan 1975 [31 Jan 1974] 3224/75 Heading C2C Novel 4,5-diphenyl-thiazoles of the general formula wherein X is -NR 1 R 2 , each of R<SP>1</SP> and R<SP>2</SP> is a hydrogen atom or a C 1-4 alkyl, C 1-4 hydroxy- alkyl, C 1-4 acyl (acyloxy-C 1-4 alkyl group or NR<SP>1</SP>R<SP>2</SP> is a 5- or 6-ring-membered heterocyclic amino group, and A is a single bond or an alkylene group, and acid addition salts and N- acylated derivatives thereof are prepared (a) by cyclizing with P 2 S 5 an [alpha]-phenylacetophenone derivative (the general formula wherein X is -NR<SP>1</SP>R<SP>2</SP>; (b) by reacting a halide of the general formula wherein Hal is a halogen atom, with HNR<SP>1</SP>R<SP>2</SP>; and (c) when at least one of R<SP>1</SP> and R<SP>2</SP> is a C 1-4 acyl or acyloxy-C 1-4 alkyl group, by acylating the corresponding compound in which at least one of R<SP>1</SP> and R<SP>2</SP> is a hydrogen atom or C 1-4 hydroxyalkyl group; followed optionally by salification or N-acylation of the product. [alpha]-Phenylacetophenone derivatives of the second general formula above are prepared by reacting the corresponding compound in which X is a halogen atom (itself prepared by reacting desylamine with Hal-CO-A-X) with HNR<SP>1</SP>R<SP>2</SP> Halides of the third general formula above wherein A is a alkylene group are prepared analogously to process (a) above. Pharmaceutical compositions having hypo- cholesterolemic and/or platelet aggregation- inhibiting activity comprise, as active in- gredient, a 4,5-diphenyl-thiazole of the first general formula above or a pharmaceutically ac- ceptable acid addition salt or N-acylated deriva- tive thereof, together with a pharmaceutically acceptable diluent, carrier or excipient.
